

wherein:

$R^1$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkinyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_6$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_6$ -alkenyloxy,  $C_3$ - $C_6$ -alkinyloxy, benzyloxy,  $C_1$ - $C_7$ -alkanoyloxy,  $C_2$ - $C_7$ -alkoxycarbonyloxy,  $C_1$ - $C_6$ -alkylthio,  $C_3$ - $C_6$ -alkenylthio,  $C_3$ - $C_6$ -alkinylthio,  $C_3$ - $C_8$ -cycloalkyloxy,  $C_3$ - $C_8$ -cycloalkylthio,  $C_2$ - $C_7$ -alkoxycarbonyl, aminocarbonyl,  $C_2$ - $C_7$ -alkylaminocarbonyl,  $C_3$ - $C_{13}$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and  $NR^5R^6$ , wherein

$R^5$  and  $R^6$  are selected independently of each other from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -alkinyl, benzyl and phenyl;

$R^2$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl, hydroxy,  $C_1$ - $C_6$ -alkoxy, benzyloxy and  $C_1$ - $C_7$ -alkanoyloxy;

$R^1$  and  $R^2$ , if adjacent, [optionally] may form a bridge selected from  $-(CH_2)_4-$  and  $-(CH=CH)_2-$  or  $CH_2O-CR^7R^8-O-$ , wherein  $R^7$  and  $R^8$  are selected independently from each other from hydrogen and  $C_1$ - $C_6$ -alkyl;

R<sup>3</sup> is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;

R<sup>4</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkylene,

[optionally] a substituted C<sub>1</sub>-C<sub>6</sub>-alkylene which is substituted one to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, or phenyl,

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>, [whereby] wherein, with the exception of CO, the isosteric substitution [cannot be] is not adjacent to the amide group and[, in NR<sup>9</sup>, the residue] R<sup>9</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>1</sub>-C<sub>6</sub>-acyl [or] and C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl,

1,2-cyclopropylene,

C<sub>2</sub>-C<sub>6</sub>-alkenylene,

[optionally] a substituted C<sub>2</sub>-C<sub>6</sub>-alkenylene which is substituted once to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, cyano or phenyl,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene,

[optionally] a substituted C<sub>4</sub>-C<sub>6</sub>-alkadienylene which is substituted once [to two-fold] or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

[optionally] a 1,3,5-hexatrienylene which is substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C<sub>2</sub>-C<sub>10</sub>-alkylene,

[optionally] a substituted C<sub>2</sub>-C<sub>10</sub>-alkylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

C<sub>4</sub>-C<sub>10</sub>-alkenylene,

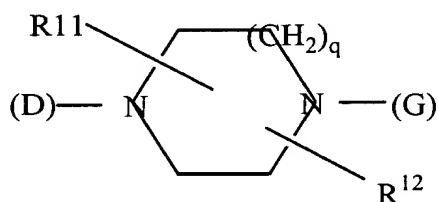
[optionally] a substituted C<sub>4</sub>-C<sub>10</sub>-alkenylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

C<sub>4</sub>-C<sub>10</sub>-alkynylene,

[optionally] a substituted C<sub>4</sub>-C<sub>10</sub>-alkynylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy; [as well as] and

C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR<sup>10</sup>, CO, SO, or SO<sub>2</sub>, [whereby] wherein R<sup>10</sup> has the same meaning as R<sup>9</sup>, but is selected independently thereof;

E [signifies] is



[whereby] wherein

q [has the meaning] is 1, 2, or 3;

R<sup>11</sup> is selected from the group consisting of hydrogen C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, hydroxymethyl, carboxy, or C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, [and]

R<sup>12</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl [or] and an oxo group adjacent to a nitrogen atom,

[or] and wherein R<sup>11</sup> and R<sup>12</sup> [optionally] may together form a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, [or] and G5, [whereby] wherein

G<sup>1</sup> [represents] is -(CH<sub>2</sub>)<sub>r</sub>-(CR<sup>14</sup>R<sup>15</sup>)<sub>s</sub>-R<sup>13</sup>

r [has the meaning] is 0, 1, 2 or [to] 3,

s is 0 or 1, [and]

R<sup>13</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl[;]\_

saturated or unsaturated four to eight-membered heterocycles,

saturated or unsaturated four to eight-membered heterocycles which [can] contain one or two hetero-atoms [that are] selected from the group consisting of N\_ [and/or] S and[/or] O[;]\_

benzyl, phenyl[;]\_

[monocyclic aromatic five or six-membered heterocycles,]

monocyclic aromatic five or six-membered heterocycles which [can] contain one to three hetero-atoms selected from the group consisting of N\_ [and/or] S and[/or] O where the heterocycles [and] are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage [can] may occur[s] either over an aromatic or a [hydrated] hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms [can be] are selected from the group consisting of N, [and/or] S and [or] O and the linkage [can] may occur either over an aromatic ring or a [hydrated] hydrogenated ring and either directly or over a methylene group,

R<sup>14</sup> has the same meaning as R<sup>13</sup>, but is selected independently thereof;

R<sup>15</sup> is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which [can] contain one to three hetero-atoms selected from the group consisting of N, [and/or] S and [or] O and wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage [can] occurs either over an aromatic or a [hydrated] hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms [can be] are selected from the group

consisting of N, [and/or] S and[/or] O and the linkage [can] occurs either over an aromatic ring or a [hydrated] hydrogenated ring and either directly or over a methylene group,

[whereby G in the form of G<sup>1</sup> cannot have the meaning  
 $-(CH_2)_r - (CR^{14}R^{15})_s - R^{13}$  (G1)

in the case that the following substitutions simultaneously signify

R<sup>13</sup> pyridyl or (optionally halogen-, alkyl-, alkoxy- or Trifluoromethyl- substituted) phenyl,

R<sup>14</sup> hydrogen or (phenyl optionally substituted with halogen-, alkyl-, alkoxy- or trifluoromethyl,

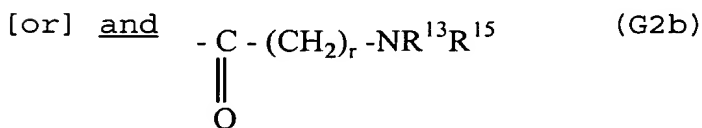
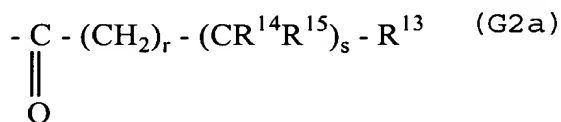
R<sup>15</sup> is hydrogen, and

A represents alkylene, optionally substituted ethenylene or butadienylene,

D alkylene or alkenylene as well as

E piperazine or homopiperazine and s=1;]

G<sup>2</sup> is selected from the group consisting of



[whereby] wherein  $r$ , [and]  $s$  [as well as] and the substituents  $R^{13}$  to  $R^{15}$  can have the above meaning, or the group[ing]  $-NR^{13}R^{15}$  is a nitrogen containing heterocycle,

wherein  $-NR^{13}R^{15}$  is [can also be] a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, [can optionally still] contain one or two further hetero-atoms selected from the group consisting of  $N$ , [and/or]  $S$  and[/or]  $O$  [or]

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms, [that]

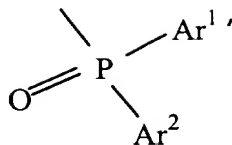
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, [can optionally still] contain one or two further hetero-atoms that are selected from  $N$ , [and/or]  $S$  and[/or]  $O$ ;

$G^3$  [has the meaning] is  $-SO_2-(CH_2)_r-R^{13}$  [ $(G3)$ ]

wherein  $r$  and  $R^{13}$  have the above [definition] meanings,

$G^4$  [has the meaning] is





[whereby] wherein

Ar<sup>1</sup> and Ar<sup>2</sup> [can be] are selected independently from each other from the group consisting of phenyl, pyridyl [or] and naphthyl,

G<sup>5</sup> [has the meaning] is -COR<sup>16</sup> [(G5)]

R<sup>16</sup> is selected from the group consisting of trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, and benzyloxy,

[whereby aromatic ring systems in the substituents are R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup>, Ar<sup>1</sup> and Ar<sup>2</sup> and/or in the ring system -NR<sup>13</sup>R<sup>15</sup> can be substituted independently from each other by one to three of the same or different groups selected from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and whereby

alkyl- alkenyl- and cycloalkyl residues in the groups G<sup>1</sup>, G<sup>2</sup>, and G<sup>3</sup> can be substituted by one or two of the same or

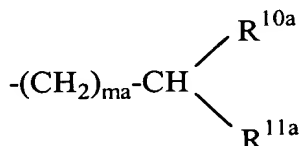
different groups which are selected from hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl-amino);

their cis- and trans-isomers E- and Z-isomers, especially in case that A is a cyclopropane ring or D contains one or more double bonds, including the enantiomers, diastereomers and other isomers as well as their racemic or non-racemic mixtures and the corresponding endo- and exo-isomers for the case that the ring system E is bicyclic;

their tautomers; as well as

their acid addition salts including their hydrates and solvates]

wherein G is not  $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$  (G1) when  
R<sup>13</sup> represents pyridyl or phenyl, which may be substituted by  
halogen, alkyl, alkoxy or trifluoromethyl,  
R<sup>14</sup> represents hydrogen or phenyl, which may be substituted  
by halogen, alkyl, alkoxy or trifluoromethyl,  
R<sup>15</sup> represents hydrogen,  
A represents alkylene, substituted ethenylene or  
butadienylene,  
D represents alkylene or alkenylene,  
E represents piperazine or homopiperazine, and  
s is 1;  
wherein G is not



phenyl, and N-containing heteroaryl when: R<sup>10a</sup> is hydrogen or phenyl, R<sup>11a</sup> is a phenyl or a pyridyl, and ma is an integer of 0 to 2; when

R<sup>1</sup> is hydrogen, a halogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxy, a C<sub>1</sub>-C<sub>6</sub>-alkylthio, a C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, a C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, a C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;

R<sup>2</sup> is hydrogen, a hydroxy, a C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy or a C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, or when R<sup>1</sup> and R<sub>2</sub> are adjacent to each other, they may combine to form tetramethylene or -CH<sub>2</sub>OCR<sup>8a</sup>R<sup>9a</sup>O-, wherein R<sup>8a</sup> and R<sup>9a</sup> are the same or different and are each a C<sub>1</sub>-C<sub>6</sub>-alkyl;

R<sup>3</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl or a hydroxy-C<sub>1</sub>-C<sub>6</sub>-alkyl;

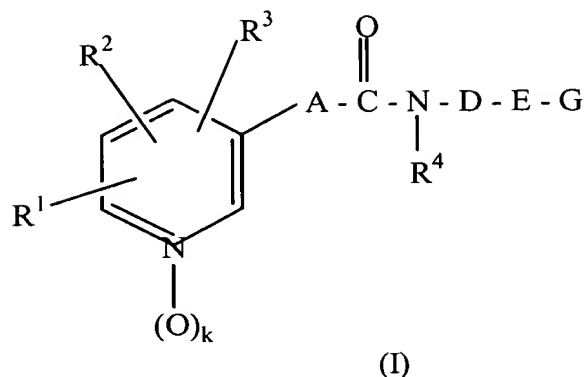
A is a C<sub>1</sub>-C<sub>6</sub>-alkylene or -(CR<sup>6a</sup>=CR<sup>7a</sup>)ra-, wherein R<sup>6a</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl or a phenyl, R<sup>7a</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl, cyano or a phenyl, and ra is 1 or 2;

R<sup>4</sup> is hydrogen;

D is a C<sub>1</sub>-C<sub>10</sub>-alkylene or a C<sub>4</sub>-C<sub>10</sub>-alkylene interrupted by at least one double bond; and

E is selected from the group consisting of piperazine, piperazine, which is substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, homopiperazine, and homopiperazine, which is substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl.

3. (Once amended) A [C] compound according to [claim 1 and 2,] formula (I)



wherein [the]

$R^1$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_6$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy, benzyloxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_5$ -alkanoyloxy,  $C_1$ - $C_4$ -alkylthio,  $C_2$ - $C_5$ -alkoxycarbonyl, aminocarbonyl,  $C_2$ - $C_5$ -alkylaminocarbonyl,  $C_3$ - $C_9$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, and  $NR^5R^6$ , [whereby] wherein

$R^5$  and  $R^6$  are selected independently of each other from hydrogen and  $C_1$ - $C_6$ -alkyl;

$R^2$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl, hydroxy, and  $C_1$ - $C_4$ -alkoxy;

$R^3$  is selected from the group consisting of hydrogen, halogen and  $C_1$ - $C_6$ -alkyl;

$R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -cycloalkyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;

$k$  [has the meaning] is 0 or 1,

A is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkylene,

[optionally] a substituted C<sub>1</sub>-C<sub>6</sub>-alkylene which is substituted one to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, fluorine, or phenyl,

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>, [whereby] wherein, with the exception of CO, the isosteric substitution [cannot be] is not adjacent to the amide group and, [in NR<sup>9</sup>,] the residue R<sup>9</sup>, is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-acyl [or] and methane sulfonyl;

1,2-cyclopropylene,

C<sub>2</sub>-C<sub>6</sub>-alkenylene,

[optionally] a substituted C<sub>2</sub>-C<sub>6</sub>-alkenylene which is substituted once to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, fluorine, cyano or phenyl,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene,

[optionally] a substituted C<sub>4</sub>-C<sub>6</sub>-alkadienylene which is substituted once to [two-fold] twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

[optionally,] a substituted 1,3,5-hexatrienylene which is substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano, and

ethynylene,

D is selected from the group consisting of C<sub>2</sub>-C<sub>10</sub>-alkylene,

[optioinally] a substituted C<sub>2</sub>-C<sub>10</sub>-alkylene which is substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy;

C<sub>4</sub>-C<sub>10</sub>-alkenylene,

[optionally] a substituted C<sub>4</sub>-C<sub>10</sub>-alkenylene which is substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy;

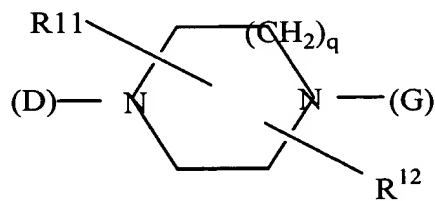
C<sub>4</sub>-C<sub>10</sub>-alkynylene,

[optinally] a substituted C<sub>4</sub>-C<sub>10</sub>-alkynylene which is substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy; [as well as] and

C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-alkynylene, [in which] wherein one to three methylene units [is each] are isosterically replaced by O, S, NR<sup>10</sup>, CO, SO, or SO<sub>2</sub>, [whereby] wherein

R<sup>10</sup> has the same meaning as R<sup>9</sup>, but is selected independently thereof;

E [signifys] is



[whereby] wherein

q [has the meaning] is 1, 2, or 3;

R<sup>11</sup> is selected from the group consisting of hydrogen C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, hydroxymethyl, carboxy, [or] and C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl and

R<sup>12</sup> is selected from the group consisting of hydrogen, [or] and an oxo group adjacent to a nitrogen atom, [or]

and wherein R<sup>11</sup> and R<sup>12</sup> [optionally] may together form a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, [or] and G5, [whereby] wherein

G<sup>1</sup> [represents] is - (CH<sub>2</sub>)<sub>r</sub> - (CR<sup>14</sup>R<sup>15</sup>)<sub>s</sub> - R<sup>13</sup>

r [has the meaning] is 0, 1 or [to] 2,

s is 0 or 1, [and]

R<sup>13</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which [can] contain one to three hetero-atoms selected from the group consisting of N, [and/or] S and [or] O, wherein the heterocycles [and] are either bound directly or over a

methylene group,

anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage [can] occur either over an aromatic or a [hydrated] hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms [can be] are selected from the group consisting of N, [and/or] S and [or] O, [and] wherein the linkage [can] occur either over an aromatic ring or a [hydrated] hydrogenated ring and either directly or over a methylene group,

R<sup>14</sup> has the same meaning as R<sup>13</sup>, but is selected independently thereof;

R<sup>15</sup> is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles, which [can] contain one to three hetero-atoms selected from the group consisting of N, [and/or] S and [or] O, wherein the heterocycles [and] are either bound directly or over a methylene group,

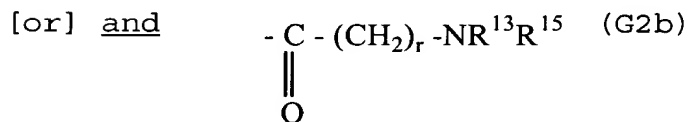
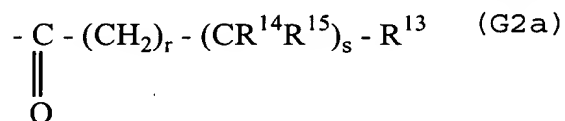
anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated carbocyclic ring systems with 8 to 16



ring atoms and at least one aromatic ring, wherein the linkage [can] occurs either over an aromatic or a [hydrated] hydrogenated ring and either directly or over a methylene group, and

anellated bi- and tricyclic aromatic or partially [hydrated] hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N, [and/or] S and [or] O and the linkage [can] may occur either over an aromatic ring or a [hydrated] hydrogenated ring and either directly or over a methylene group;

G<sup>2</sup> is selected from the group consisting of



[whereby] wherein r, [and] s [as well as] and the substituents R<sup>13</sup> to R<sup>15</sup> can have the above meaning, or the group [ing] -NR<sup>13</sup>R<sup>15</sup> is a nitrogen containing heterocycle,

[can also be] wherein -NR<sup>13</sup>R<sup>15</sup> is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered heterocycles,

saturated or unsaturated monocyclic, four to eight-membered heterocycles which aside from the essential nitrogen atom[, can optionally still] contain one or two further hetero-atoms selected from the group consisting of N, [and/or] S and[/or] O, [or]

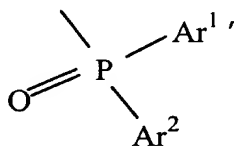
saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms, and

saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms that aside from the essential nitrogen atom, [can optionally still] contain one or two further hetero-atoms that are selected from the group consisting of N, [and/or] S and[/or] O;

G<sup>3</sup> is [has the meaning] -SO<sub>2</sub>-(CH<sub>2</sub>)<sub>r</sub>-R<sup>13</sup> [(G3)]

wherein r and R<sup>13</sup> have the above meaning [definition],

G<sup>4</sup> is [has the meaning]



[whereby] wherein

Ar<sup>1</sup> and Ar<sup>2</sup> [can] are be selected independently from each other from the group consisting of phenyl, pyridyl [or] and naphthyl,

G<sup>5</sup> [has the meaning] is -COR<sup>16</sup> [(G5)]

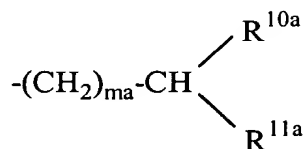
$R^{16}$  is selected from the group consisting of trifluoromethyl,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_6$ -alkenyloxy, and benzyloxy,

[whereby aromatic ring systems in the substituents are  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ ,  $R^{16}$ ,  $Ar^1$  and  $Ar^2$  and/or in the ring system  $-NR^{13}R^{15}$  can be substituted independently from each other by one to three of the same or different groups selected from

halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -hydroxyalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_7$ -carboxyalkyl,  $C_2$ - $C_7$ -carboxyalkenyl,  $C_2$ - $C_7$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino, di- $(C_1$ - $C_6$ -alkyl)-amino and, methylene dioxide in the case of two adjacent residues on the aromatic ring,

whereby alkyl- alkenyl- and cycloalkyl residues in the groups  $G^1$ ,  $G^2$ , and  $G^3$  can be substituted by one or two of the same or different groups which are selected from hydroxy, carboxy,  $C_2$ - $C_7$ -alkoxycarbonyl, benzyloxycarbonyl, amino, mono- $C_1$ - $C_6$ -alkylamino and di- $(C_1$ - $C_6$ -alkyl-amino)]

wherein G is not



phenyl, and N-containing heteroaryl when:  $R^{10a}$  is hydrogen or

phenyl, R<sup>11a</sup> is a phenyl or a pyridyl, and ma is an integer of 0 to 2; when

R<sup>1</sup> is hydrogen, a halogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxy, a C<sub>1</sub>-C<sub>6</sub>-alkylthio, a C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, a C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, a C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;

R<sup>2</sup> is hydrogen, a hydroxy, a C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy or a C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, or when R<sup>1</sup> and R<sub>2</sub> are adjacent to each other, they may combine to form tetramethylene or -CH<sub>2</sub>OCR<sup>8a</sup>R<sup>9a</sup>O-, wherein R<sup>8a</sup> and R<sup>9a</sup> are the same or different and are each a C<sub>1</sub>-C<sub>6</sub>-alkyl;

R<sup>3</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl or a hydroxy-C<sub>1</sub>-C<sub>6</sub>-alkyl;

A is a C<sub>1</sub>-C<sub>6</sub>-alkylene or -(CR<sup>6a</sup>=CR<sup>7a</sup>)ra-, wherein R<sup>6a</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl or a phenyl, R<sup>7a</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl, cyano or a phenyl, and ra is 1 or 2;

R<sup>4</sup> is hydrogen;

D is a C<sub>1</sub>-C<sub>10</sub>-alkylene or a C<sub>4</sub>-C<sub>10</sub>-alkylene interrupted by at least one double bond; and

E is selected from the group consisting of piperazine, piperazine, which is substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, homopiperazine, and homopiperazine, which is substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl.

4. (Twice amended) The [C] compound according to claim 3, wherein

R<sup>1</sup> is selected from the group consisting of hydrogen, halogen, cyano, methyl, ethyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, benzyloxy, C<sub>1</sub>-C<sub>5</sub>-alkanoyloxy, methylthio, ethylthio, methoxycarbonyl, tert-butoxycarbonyl, aminocarbonyl, carboxy, phenoxy, and phenylthio,

R<sup>2</sup> is selected from the group consisting of hydrogen, halogen, trifluoromethyl[,] and hydroxy;

R<sup>3</sup> is selected from the group consisting of hydrogen[,] and halogen;

R<sup>4</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, allyl, hydroxy and C<sub>1</sub>-C<sub>5</sub>-alkoxy;

k is 0 or 1,

A is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkylene,

[optionally] a substituted C<sub>1</sub>-C<sub>6</sub>-alkylene which is substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy or fluorine;

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>, [whereby] wherein, with the exception of CO, the isosteric substitution [cannot be] is not adjacent to the amide group,

C<sub>2</sub>-C<sub>6</sub>-alkenylene,

[optionally] a substituted C<sub>2</sub>-C<sub>6</sub>-alkenylene which is substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy [and/] or fluorine,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene,

[optionally] a substituted C<sub>4</sub>-C<sub>6</sub>-alkadienylene which is substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl or one or two fluorine atoms;

1,3,5-hexatrienylene,

[optionally] a substituted 1,3,5-hexatrienylene which is substituted by fluorine,

D is selected from the group consisting of C<sub>2</sub>-C<sub>8</sub>-alkylene,

[optionally] a substituted C<sub>2</sub>-C<sub>8</sub>-alkylene which is substituted once or twice by methyl or hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkenylene,

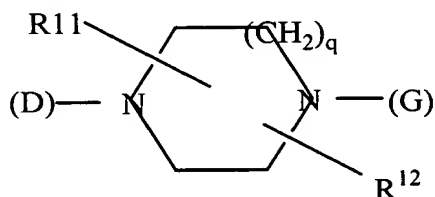
[optionally] a substituted C<sub>4</sub>-C<sub>8</sub>-alkenylene which is substituted once or twice by methyl or hydroxy [, and]

C<sub>4</sub>-C<sub>8</sub>-alkynylene,

[optionally] a substituted C<sub>4</sub>-C<sub>8</sub>-alkynylene which is substituted once or twice by methyl or hydroxy; and

C<sub>2</sub>-C<sub>8</sub>-alkylene, C<sub>4</sub>-C<sub>8</sub>-alkenylene or C<sub>4</sub>-C<sub>8</sub>-alkynylene, wherein one to three methylene units are each isosterically replaced by O, S, NH, N(CH<sub>3</sub>), N(COCH<sub>3</sub>), N(SO<sub>2</sub>CH<sub>3</sub>), CO, SO or SO<sub>2</sub>, [whereby]

E [has the meaning] is



[whereby] wherein

q is 1 or 2;

R<sup>11</sup> is selected from the group consisting of hydrogen C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxymethyl, [or] and carboxy, [and]

R<sup>12</sup> is selected from the group consisting of hydrogen [or] and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, [or] and G5, [whereby] wherein

G<sup>1</sup> represents  $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13} [(G1)]_1$

r is 0, 1 or [to] 2 [and],

s is 0 or 1; [and]

R<sup>13</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; benzyl, phenyl, [;] benzcyclobutyl, indanyl, indenyl oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, biphenylenyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl, tetrahydrodibenzocyclooctenyl, [or] oxotetrahydrodibenzocyclooctenyl bound directly over a methylene group[;]

furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thizolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, isoindolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzooisoxazolyl, oxobenzoisoxazolyl, benzothiazolyl, oxobenzthiazolyl, benzoisothiazolyl, oxobenzoisothiazolyl, benzoimidazolyl, oxobenzoimidazolyl, indazolyl, oxoindazolyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, oxodihydropyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinoloyl, isoquinoloyl, dihydroquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinoxalyl, quinazolyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, acridinyl, oxodihydroacridinyl, phenanthridinyl, dihydrophenanthridinyl, oxodihydrophenanthridinyl, dibenzoisoquinolyl, dihydrodibenzoisoquinolyl, oxodihydrodibenzoisoquinolyl, phenothiazinyl, dihydrodibenzooxepinyl, oxodihydrodibenzooxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrothienobenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dibenzoazepinyl, dihydrodibenzoazepinyl, oxodihydrodibenzoazepinyl, octahydrodibenzoazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, pyridobenzoazepinyl, dihydropyridobenzoazepinyl,

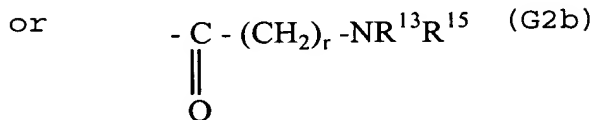
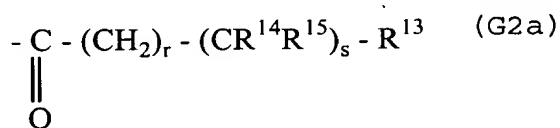


oxodihydropyridobenzoazepinyl, dihydropyridobenzodiazepinyl, dihydrodibenzooxazepinyl, dihydropyridobenzooxepinyl, dihydropyridobenzooxazepinyl, oxodihydropyridobenzooxazepinyl, dihydropyridobenzothiazepinyl [or] and oxodihydropyridobenzothiazepinyl bound directly or over a methylene group;

R<sup>14</sup> [is synonymous with] has the same meaning as R<sup>13</sup>, but is selected independently thereof;

R<sup>15</sup> is selected from the group consisting of hydroxy, methyl, benzyl, phenyl, indanyl, indenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzooxazolyl, benzothiazolyl, benzoimidazolyl, chromanyl, quinolyl, [or] and tetrahydroquinolyl bound directly or over a methylene group;

G<sup>2</sup> is selected from the group consisting of



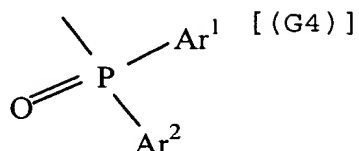
[whereby] wherein r, [and] s and the substituents R<sup>13</sup> to R<sup>15</sup> [can] have the above meaning, or the group[ing] -NR<sup>13</sup>R<sup>15</sup> [represents the ring of] is selected from the group consisting of azetidine, [bound over the nitrogen or one of the following

residues:] pyrrolidine, piperidine, (1H)-tetrahydropyridine, hexahydroazepine, (1H)-tetrahydroazepine, octahydroazocine, pyrazolidine, piperazine, hexahydrodiazepine, morpholine, hexahydrooxazepine, thiomorpholine, thiomorpholin-1,1-dioxide, of 5-aza-bicyclo-[2.1.1]hexane, 2-aza-bicyclo[2.2.1]heptane, 7-aza-bicyclo-[2.2.1]heptane, 2,5-diaza-bicyclo[2.2.1]heptane, 2-aza-bicyclo[2.2.2]octane, 8-aza-bicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, 9-aza-bicyclo[3.3.1]nonane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinolin, (2H)-tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzooxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo [b]thiazepine, 1, 2, 3, 4-tetra-hydro-9H-pyrido [3,4-b]indole, (10H)-dihydroacridine, (10H)-dihydrophenanthridine, 1, 2, 3, 4-tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, (5H)-dibenzoazepine, (5H)-dihydrodibenzoazepine, (5H)-octahydrodibenzoazepine, dihydrobenzo[d,e]isoquinoline, (5H)-dihydrodibenzodiazepine, (5H)-benzo[b]pyrido-[f]azepine, (5H)-Dihydrobenzo[b]pyrido[f]azepine, (11H)-Dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]-oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine, (5H)-tetrahydrodibenzoazocine, (11H)-dihydrobenzo[e]pyrido[b]-1,4-diazepin-6-one [or] and (11H)-dihydrobenzo[b]pyrido[e]-1,4-diazepin-5-one,



wherein r and R<sup>13</sup> have the above definition,

G<sup>4</sup> [has the meaning] is



[whereby] wherein

Ar<sup>1</sup> and Ar<sup>2</sup> [can be] are selected independently from each other from the group consisting of phenyl, pyridyl [or] and naphthyl,

G<sup>5</sup> [has the meaning] is -COR<sup>16</sup> [(G5)]

R<sup>16</sup> is selected from the group consisting of trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, and benzyloxy,

[whereby aromatic ring systems in the substitutents substituted independently from each other by one to three of the same or different groups selected from halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and, methylene dioxide in the case of two adjacent residues on the aromatic ring, and

whereby alkyl- alkenyl- and cycloalkyl residues in the groups G<sup>1</sup>, G<sup>2</sup>, and G<sup>3</sup> can be substituted by one or two of the same or different groups which are selected from hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-

C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl-amino)].

5. (Twice amended) The [C]compounds according to claim 4, wherein

R<sup>1</sup> is selected from the group consisting of hydrogen, fluorine, chlorine, bormine, methyl, ethyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, methylthio, ethylthio, carboxy and phenoxy;

R<sup>2</sup> is selected from the group consisting of hydrogen, chlorine and methyl;

R<sup>3</sup> is selected from hydrogen;

R<sup>4</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl and hydroxy,

k is 0,

A is selected from the group consisting of C<sub>2</sub>-C<sub>6</sub>-alkylene,

[optionally] a substituted C<sub>2</sub>-C<sub>6</sub>-alkylene which is substituted once or twice by hydroxy or fluorine[;],

C<sub>2</sub>-C<sub>6</sub>-alkylene, wherein a methylene unit is isosterically replaced by O, S or CO, [whereby] wherein, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group,

C<sub>2</sub>-C<sub>6</sub>-alkenylene,

a C<sub>2</sub>-C<sub>6</sub>-alkenylene which is [optionally] substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl [and/] or fluorine[;], and

C<sub>4</sub>-C<sub>6</sub>-alkadienylene;

D is selected from the group consisting of  
C<sub>2</sub>-C<sub>8</sub>-alkylene,

a substituted C<sub>2</sub>-C<sub>8</sub>-alkylene which is [optionally] substituted by methyl or hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkenylene,

a substituted C<sub>4</sub>-C<sub>8</sub>-alkenylene which is [optionally] substituted by hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkynylene,

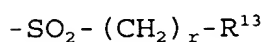
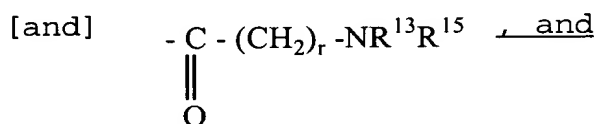
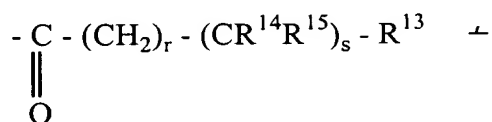
a substituted C<sub>4</sub>-C<sub>8</sub>-alkynylene which is [optionally] substituted by hydroxy; and

C<sub>2</sub>-C<sub>8</sub>-alkylene, C<sub>4</sub>-C<sub>8</sub>-alkenylene or C<sub>4</sub>-C<sub>8</sub>-alkynylene, wherein a methylene unit is [respectively] isosterically replaced by O, S, NH, N(CH<sub>3</sub>), CO, or SO<sub>2</sub>, or an ethylene group is isosterically replaced by a group NH-CO [and/] or CO-NH, or a propylene group is isosterically replaced by a group NH-CO-O [and/] or O-CO-NH;

E is selected from the group consisting of piperazine, [or] hexahydro-1,4-diazepine [(homopiperazine)], and substituted piperazine and hexahydro-1,4-diazepine wherein the ring [can be optionally] is substituted by one or two

methylene groups [and/]or by an oxo group adjacent to a nitrogen atom;

G is selected from the group consisting of hydrogen, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, methoxycarbonyl, tert-butoxycarbonyl, benzyloxycarbonyl, trifluoroacetyl, diphenyl phosphinoyl, [or a group] - (CH<sub>2</sub>)<sub>r</sub> - (CR<sup>14</sup>R<sup>15</sup>)<sub>s</sub> - R<sup>13</sup> and



r [has the meaning] is 0 or 1,

s is 0 or 1,

R<sup>13</sup> is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indanyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, bound directly over a methylene group[;]and furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, indolinyl, oxoindolinyl,

dioxoindolinyl, benzoxazolyl, oxobenzoaxaoliny, benzooisoxazolyl, oxobenzoisoxazoliny, benzothiazolyl, oxobenzthiazoliny, benzimidazolyl, oxobenzimidazoliny, indazolyl, benzofurazanyl, benzothiadiaazolyl, oxazolopridyl, oxodihydrooxazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinoloyl, isoquinoloyl, oxodihydroquinoliny, tetrahydroquinolyl, oxotetrahydroquinoliny, benzodioxanyl, quinazoliny, carbazolyl, acridiny, dihydroacridiny, oxodihydroscridiny, dibenzoisoquinoliny, dihydrodibenzoisoquinoliny, oxodihydrodibenzoisoquinoliny, phenothiaziny, dihydrodibenzooxepiny, oxodihydrodibenzooxepiny, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrothienobenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dibenzoazepiny, dihydrodibenzazoazepiny, oxodihydrodibenzazoazepiny, ocathydrodibenzazoazepiny, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, pyridobenzazoazepiny, dihydropyridobenzazoazepiny, oxodihydropyridobenzazoazepiny, dihydropyridobenzodiazepiny, dihydrodibenzooxazepiny, dihydropyridobenzooxepiny, dihydropyridobenzooxazepiny, oxodihydropyridobenzooxazepiny, dihydropyridobenzothiazepiny, and [or] oxodihydropyridobenzothiazepiny bound directly or over a methylene group[;].

R<sup>14</sup> is selected from the group consisting of hydrogen, methyl, benzyl, and phenyl;

R<sup>15</sup> is selected from the group consisting of hydroxy,

methyl, benzyl, phenyl, naphthyl, tetrahydronaphthyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, benzofuryl, benzothienyl, indolyl, indolynyl, benzoxazolyl, benzothiazolyl, benzoimidazolyl, chromanyl, quinolyl, [or] and tetrahydroquinolyl bound directly or over a methylene group;

wherein the group[ing] -NR<sup>13</sup>R<sup>15</sup> represents a ring bound over the nitrogen of a residue from the series pyrrolidine, piperidine, hexahydroazepine, piperazine, hexahydrodiazepine, thiomorpholine, 7-aza-bicyclo-[2.2.1]heptane, 2,5-diaza-bicyclo[2.2.1]heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinolin, (2H)-tetrahydroisoquinoline, (4H)-dihydrobenzooxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (10H)-dihydrophenanthridine, (1H)-dihydrobenzo-[d,e]isoquinoline, (10H)-phenothiazine, (5H)-dibenzo[b,f]azepine, (5H)-dihydrodibenzo[b,f]azepine, (5H)-octahydrodibenzoazepine, dihydrobenzo[d,e]isoquinoline, (5H)-dihydrodibenzo[c,e]azepine, (5H)-dihydrodibenzodiazepine, (5H)-dihydrobenzoazepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]-oxazepine, (5H)-dihydrobenzo[b]pyrido[3,2-f]azepine and (11H)-oxodihydrobenzo[e]pyrido[3,2-b][1,4]diazepine, [and whereby] wherein

[whereby] aromatic ring systems in the substituents may be [can be] substituted independently from each other by one to three of the same or different groups selected from the group consisting of halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or



partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and, methylene dioxide in the case of two adjacent residues on the aromatic ring, and

[whereby] wherein alkyl- alkenyl- and cycloalkyl residues in the groups [G<sup>1</sup>, G<sup>2</sup>, and G<sup>3</sup>] G can be substituted by one or two of the same or different groups which are selected from the group consisting of hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl-amino).

6. (Twice amended) The [C]compounds according to [the] claim 5, wherein

R<sup>1</sup> is selected from the group consisting of hydrogen, fluorine, methyl, trifluoromethyl, and ethylthio;

R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each hydrogen;

k [has the meaning] is 0,

A is selected from the group consisting of ethylene, propylene [or] and butylene,

a substituted ethylene, propylene and butylene which are each [optionally] substituted by hydroxy, [or] one or two fluorine atoms, [; or]

OCH<sub>2</sub>,  
SCH<sub>2</sub>[;]\_,  
ethenylene, and  
[or] 1,3-butadienylene;

D is selected from the group consisting of  
C<sub>2</sub>-C<sub>6</sub>-alkylene\_

a substituted C<sub>2</sub>-C<sub>6</sub>-alkylene which is [optionally]  
substituted by hydroxy[;]\_

C<sub>4</sub>-C<sub>6</sub>-alkenylene[;]\_

C<sub>4</sub>-C<sub>6</sub>-alkynylene[; or]\_, and

C<sub>2</sub>-C<sub>6</sub>-alkylene, C<sub>4</sub>-C<sub>6</sub>-alkenylene or C<sub>4</sub>-C<sub>6</sub>-alkynylene,  
wherein one or two methylene units are [is] isosterically  
replaced by O, NH, CO, or SO<sub>2</sub>,

E is [selected from] piperazine or hexahydro-1,4-  
diazazepine;

G is selected from the group consisting of phenyl,  
benzyl, phenethyl, diphenylmethyl, naphthyl, tetrahydroaphtyl,  
naphthylmethyl, fluorenyl\_, fluorenylmethyl, anthrylmethyl,  
dihydrodibenzo-cycloheptenyl[;]\_, furylmethyl, thienylmethyl,  
thiazolylmethyl, pyridylmethyl, benzothienylmethyl,  
quinolylmethyl, phenylthienylmethyl, phenylpyridylmethyl,  
benzocycloheptapyridinyl, dihydrobenzocyclo-heptapyridinyl,  
dihydrodibenzooxepinyl, dihydrodibenzothiepinyl,  
dihydrodibenzoazepinyl, dihydrobenzopyridodiazepinyl[;]  
formyl, acetyl, pivaloyl, phenylacetyl, diphenylacetyl,

diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, oxofluorenylcarbonyl, oxodihydroanthrylcarbonyl, dioxodihydroanthrylcarbonyl, furoyl, pyridylacetyl, pyridylcarbonyl, chromonylcarbonyl, quinolylylcarbonyl, phenyllylaminocarbonyl, naphthylaminocarbonyl, tetrahydronaphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indolinyl-N-carbonyl, isoindolin-N-carbonyl, tetrahydroquinolinyl-N-carbonyl, carbazolyl-N-carbonyl, tetrahydrobenzoazepinyl-N-carbonyl, dihydrodibenzoazepin-N-carbonyl, dihydrobenzopyridoazepinyl-N-carbonyl, oxodihydrobenzopyridoazepinyl-N-carbonyl[;]⊥ methanesulfonyl, toluenesulfonyl, naphthylsulfonyl, quinolinsulfonyl and diphenylphosphinoyl,

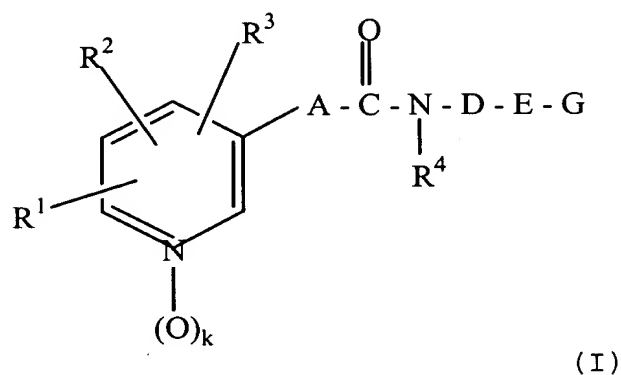
[wherein aromatic ring systems can be substituted independently of each other by one to three of the same or different groups which are selected from halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino, and in the case of two adjacent residues in the aromatic ring methylenedioxy, and whereby alkyl, alkenyl and cycloalkyl residues in the group G can be substituted by one or two of the same or different groups which are selected from hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino].

7. (Twice amended) The [C]compound[s] according to [formula (I) according to] claim 3 [1 or 2, wherein they are

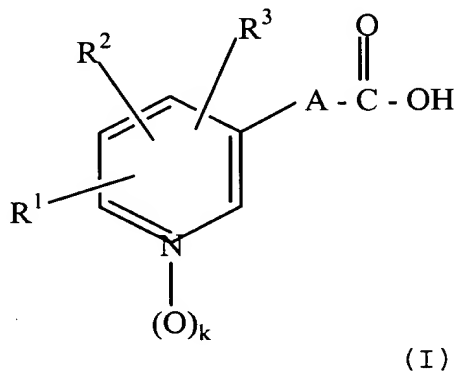
present in the form of the following compounds:] which is selected from the group consisting of N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxybutyl]-3-pyridin-3-yl-acrylamide; N-[3-(4-diphenylmethylpiperazin-1-yl)-propoxy]-3-pyridin-3-yl-acrylamide; N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxo-butyl]-3-pyridin-3-yl-acrylamide; N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)-propyl]-3-pyridin-3-yl-acrylamide; N-{2-[2-(4-diphenylmethylpiperazin-1-yl)-ethoxy]-ethyl}-3-pyridin-3-yl-acrylamide; N-(4-{4-[bis-(4-fluorophenyl)-methyl]-piperazin-1-yl}-but-2-in-yl)-3-pyridin-3-yl-acrylamide; N-{4-[4-(4-carboxyphenyl-phenylmethyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; [and] N-(4-{4-[(4-aminophenyl)-phenylmethyl]-piperazin-1-yl}-butyl)-3-pyridin-3-yl-acrylamide; N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-2-(pyridin-3-yloxy)-acetamide; N-{5-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-penyl}-3-pyridin-3-yl-acrylamide; N-{6-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-acrylamide; 3-pyridin-3-yl-N-{4-[4-(1,2,3,4-tetrahydronaphthalin-1-yl)-piperazin-1-yl]-butyl}-acrylamide; 3-pyridin-3-yl-N-{4-[4-(5,6,7,8-tetrahydronaphthalin-1-yl)-piperazin-1-yl]-butyl}-acrylamide; [and] N-{4-[4-(naphthalin-1-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-[4-(4-biphenyl-2-yl)-butyl]-3-pyridin-3-yl-propionamide; N-[5-(4-biphenyl-2-yl-piperazin-1-yl)-pentyl]-3-pyridin-3-yl-acrylamide; N-[6-(4-biphenyl-2-yl-piperazin-1-yl)-hexyl]-3-pyridin-3-yl-acrylamide; N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-2-(pyridin-3-yloxy)-acetamide; [as well as N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-5-(pyridin-3-yl)-penta-2,4-diensaureamide;] N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-5-(pyridin-3-yl)-penta-2,4-dienoic acid amide; N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide; N-{5-[4-(10,11-

dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide; N-{6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-propionamide; [N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-5-(pyridin-3-yl)-penta-2,4-diensaureamide;] N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-5-(pyridin-3-yl)-penta-2,4-dienoic acid amide; N-{4-[4-(6,11-dihydro-dibenzo[b,e]oxepin-11-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide; [and] N-{2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-piperazin-1-yl]-ethyl}-3-pyridin-3-yl-acrylamide; N-[4-(4-diphenylacetyl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-[4-(4-benzoylpiperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-{4-[4-(2-aminobenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(4-carboxybenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(biphenyl-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(9-oxo-9H-fluoren-4-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; [and] N-{4-[4-(furan-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(naphthalin-1-yl-aminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide; N-{4-[4-(diphenylaminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; N-{4-[4-(naphthalin-2-sulfonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; [as well as] N-[4-(4-diphenylphosphinoyl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-[4-(4-bipheny-2-yl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide; N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide; and N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide.

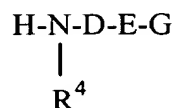
8. (Twice amended) A [M]method for the production of compounds according to [claim 7 according] to formula (I)



[(A))] wherein carboxylic acids of formula (II)



in which R1, R2, R3, A and k have the meaning given [above] below or their respective derivatives[, especially their acid chlorides or activated esters,] are reacted[, optionally in the presence of condensation agents,] with compounds of formula (III)



wherein D, E, and G and R4 are defined below [as in claim 1]

in [the] a form of the respective free base or the respective free acid addition salt[, preferably in one or more inert solvents,] at a temperature between about -40°C and about 180°C [optionally in the presence of an auxillary base or according to the method variant]

wherein:

R<sup>1</sup> is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkinyloxy, benzyloxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>3</sub>-C<sub>6</sub>-alkenylthio, C<sub>3</sub>-C<sub>6</sub>-alkinylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR<sup>5</sup>R<sup>6</sup>, wherein

R<sup>5</sup> and R<sup>6</sup> are selected independently of each other from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, benzyl and phenyl;

R<sup>2</sup> is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy and C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy;

R<sup>1</sup> and R<sup>2</sup>, if adjacent, may form a bridge selected from - (CH<sub>2</sub>)<sub>4</sub>- and - (CH=CH)<sub>2</sub>- or CH<sub>2</sub>O-CR<sup>7</sup>R<sup>8</sup>-O-, wherein R<sup>7</sup> and R<sup>8</sup> are selected independently from each other from hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl;

R<sup>3</sup> is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;

R<sup>4</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkylene,

a substituted C<sub>1</sub>-C<sub>6</sub>-alkylene which is substituted one to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, or phenyl,

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R<sup>9</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>1</sub>-C<sub>6</sub>-acyl and C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl,

1,2-cyclopropylene,

C<sub>2</sub>-C<sub>6</sub>-alkenylene,

a substituted C<sub>2</sub>-C<sub>6</sub>-alkenylene which is substituted once to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, cyano or phenyl,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene,

a substituted C<sub>4</sub>-C<sub>6</sub>-alkadienylene which is substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl;



1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl, and

ethinylene,

D is selected from the group consisting of C<sub>2</sub>-C<sub>10</sub>-alkylene,

a substituted C<sub>2</sub>-C<sub>10</sub>-alkylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

C<sub>4</sub>-C<sub>10</sub>-alkenylene,

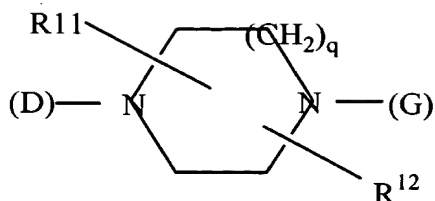
a substituted C<sub>4</sub>-C<sub>10</sub>-alkenylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

C<sub>4</sub>-C<sub>10</sub>-alkinylene,

a substituted C<sub>4</sub>-C<sub>10</sub>-alkinylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy; and

C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-alkinylene, in which one to three methylene units are isosterically replaced by O, S, NR<sup>10</sup>, CO, SO, or SO<sub>2</sub>, wherein R<sup>10</sup> has the same meaning as R<sup>9</sup>, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R<sup>11</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, hydroxymethyl, carboxy, or C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl,

R<sup>12</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl and an oxo group adjacent to a nitrogen atom,

and wherein R<sup>11</sup> and R<sup>12</sup> may together form a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G<sup>1</sup> is -(CH<sub>2</sub>)<sub>r</sub>-(CR<sup>14</sup>R<sup>15</sup>)<sub>s</sub>-R<sup>13</sup>

r is 0, 1, 2 or 3,

s is 0 or 1,

R<sup>13</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

saturated or unsaturated four to eight-membered

heterocycles,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage may occur either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R<sup>14</sup> has the same meaning as R<sup>13</sup>, but is selected independently thereof;

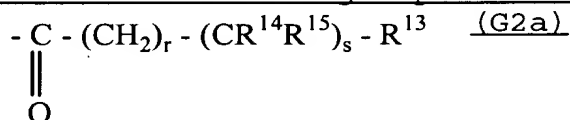
R<sup>15</sup> is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the heterocycles are either bound directly or over a methylene group,

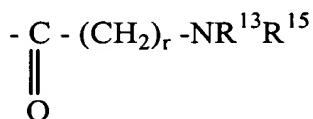
anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

G<sup>2</sup> is selected from the group consisting of



and



wherein r, s and the substituents R<sup>13</sup> to R<sup>15</sup> can have the above meaning, or the group -NR<sup>13</sup>R<sup>15</sup> is a nitrogen containing heterocycle,

wherein -NR<sup>13</sup>R<sup>15</sup> is a nitrogen-containing heterocycle bound

over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

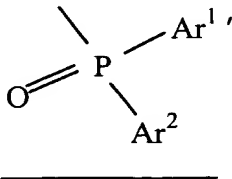
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetro-atoms that are selected from N, S and O;

G<sup>3</sup> is -SO<sub>2</sub>-(CH<sub>2</sub>)<sub>r</sub>-R<sup>13</sup>

wherein r and R<sup>13</sup> have the above meanings,

G<sup>4</sup> is



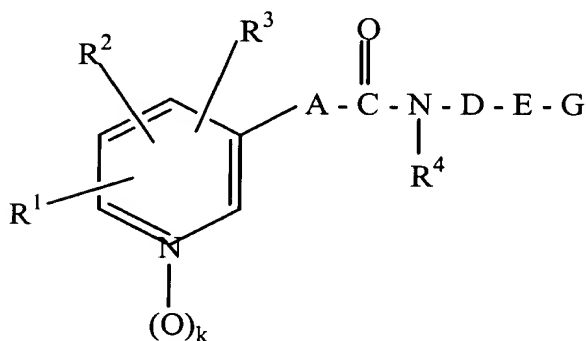
wherein

Ar<sup>1</sup> and Ar<sup>2</sup> are selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G<sup>5</sup> is -COR<sup>16</sup>,

R<sup>16</sup> is selected from the group consisting of trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, and benzyloxy.

12. (twice amended) [Medicament with an amount of 1 or more active ingredients according to claim 7 optionally in connection with a pharmaceutically acceptable carrier, next to toxicologically safe adjuvants, optionally in combination with other active ingredients] A pharmaceutical composition comprising the compound of formula (I)



(I)

wherein:

R<sup>1</sup> is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkynyloxy, benzyloxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>3</sub>-C<sub>6</sub>-alkenylthio, C<sub>3</sub>-C<sub>6</sub>-alkinylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylaminocarbonyl, carboxy,

phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR<sup>5</sup>R<sup>6</sup>, wherein

R<sup>5</sup> and R<sup>6</sup> are selected independently of each other from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, benzyl and phenyl;

R<sup>2</sup> is selected from the group consisting of hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy and C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy;

R<sup>3</sup> is selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;

R<sup>4</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkylene,

a substituted C<sub>1</sub>-C<sub>6</sub>-alkylene which is substituted one to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, or phenyl,

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R<sup>9</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>1</sub>-C<sub>6</sub>-acyl

and C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl,

1,2-cyclopropylene,

C<sub>2</sub>-C<sub>6</sub>-alkenylene,

a substituted C<sub>2</sub>-C<sub>6</sub>-alkenylene which is substituted once to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, cyano or phenyl,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene,

a substituted C<sub>4</sub>-C<sub>6</sub>-alkadienylene which is substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl, and

ethinylene,

D is selected from the group consisting of C<sub>2</sub>-C<sub>10</sub>-alkylene,

a substituted C<sub>2</sub>-C<sub>10</sub>-alkylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

C<sub>4</sub>-C<sub>10</sub>-alkenylene,

a substituted C<sub>4</sub>-C<sub>10</sub>-alkenylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

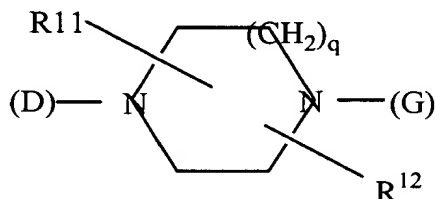


C<sub>4</sub>-C<sub>10</sub>-alkynylene,

a substituted C<sub>4</sub>-C<sub>10</sub>-alkynylene which is substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy; and

C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR<sup>10</sup>, CO, SO, or SO<sub>2</sub>, wherein R<sup>10</sup> has the same meaning as R<sup>9</sup>, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R<sup>11</sup> is selected from the group consisting of hydrogen C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, hydroxymethyl, carboxy, or C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl,

R<sup>12</sup> is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

$G^1$  is  $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

$r$  is 0 to 3,

$s$  is 0 or 1,

$R^{13}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -alkinyl,  $C_3$ - $C_8$ -cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

annelated bi- and tricyclic aromatic or partially

hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R<sup>14</sup> has the same meaning as R<sup>13</sup>, but is selected independently thereof;

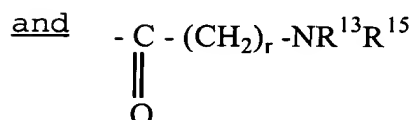
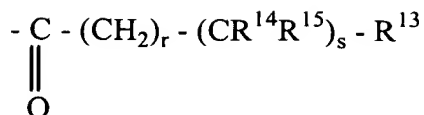
R<sup>15</sup> is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G<sup>2</sup> is selected from the group consisting of



wherein r, s and the substituents R<sup>13</sup> to R<sup>15</sup> can have the above meaning, or the group -NR<sup>13</sup>R<sup>15</sup>,

wherein -NR<sup>13</sup>R<sup>15</sup> is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

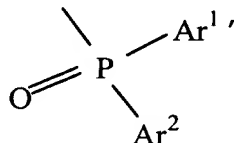
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

G<sup>3</sup> is -SO<sub>2</sub>-(CH<sub>2</sub>)<sub>r</sub>-R<sup>13</sup> (G3)

wherein r and R<sup>13</sup> have the above meanings,

G<sup>4</sup> is



wherein

Ar<sup>1</sup> and Ar<sup>2</sup> are selected independently from each other from phenyl, pyridyl or naphthyl,

G<sup>5</sup> is -COR<sup>16</sup> (G5)

R<sup>16</sup> is selected from the group consisting of trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, and benzyloxy,

wherein G is not -(CH<sub>2</sub>)<sub>r</sub>-(CR<sup>14</sup>R<sup>15</sup>)<sub>s</sub>-R<sup>13</sup> (G1) when  
R<sup>13</sup> represents pyridyl or phenyl, substituted by halogen,  
alkyl, alkoxy or trifluoromethyl,

R<sup>14</sup> represents hydrogen or phenyl, substituted by  
halogen, alkyl, alkoxy or trifluoromethyl,

R<sup>15</sup> represents hydrogen,

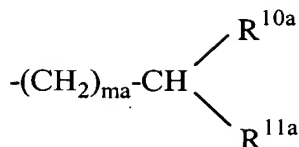
A represents alkylene, substituted ethenylene or  
butadienylene,

D represents alkylene or alkenylene,

E represents piperazine or homopiperazine, and

S is 1;

G is not



phenyl, and N-containing heteroaryl when: R<sup>10a</sup> is hydrogen or phenyl, R<sup>11a</sup> is a phenyl or a pyridyl, and ma is an integer of 0 to 2; when

R<sup>1</sup> is hydrogen, a halogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxy, a C<sub>1</sub>-C<sub>6</sub>-alkylthio, a C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, a C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, a C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;

R<sup>2</sup> is hydrogen, a hydroxy, a C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy or a C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, or when R<sup>1</sup> and R<sub>2</sub> are adjacent to each other, they may combine to form tetramethylene or -CH<sub>2</sub>OCR<sup>8a</sup>R<sup>9a</sup>O-, wherein R<sup>8a</sup> and R<sup>9a</sup> are the same or different and are each a C<sub>1</sub>-C<sub>6</sub>-alkyl;

R<sup>3</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl or a hydroxy-C<sub>1</sub>-C<sub>6</sub>-alkyl;

A is a C<sub>1</sub>-C<sub>6</sub>-alkylene or -(CR<sup>6a</sup>=CR<sup>7a</sup>)ra-, wherein R<sup>6a</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl or a phenyl, R<sup>7a</sup> is hydrogen, a C<sub>1</sub>-C<sub>6</sub>-alkyl, cyano or a phenyl, and ra is 1 or 2;

R<sup>4</sup> is hydrogen;

D is a C<sub>1</sub>-C<sub>10</sub>-alkylene or a C<sub>4</sub>-C<sub>10</sub>-alkylene interrupted by at least one double bond; and

E is selected from the group consisting of piperazine, piperazine, which is substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, homopiperazine, and homopiperazine, which is substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl.

14. (twice amended) [Medicament] The pharmaceutical composition according to claim 12, wherein [it] the

pharmaceutical composition is present in a solid, peroral administrable form as a tablet, capsule, coated tablet, or as a liquid, peroral administration solution, suspension, effervescent tablet, in the form of tabs or sachets, [optionally in sustained action, and/or in gastric fluid-resistant form] which may be in the form of a suitable injection or infusion preparation together with suitable pharmaceutically acceptable carriers and adjuvants, in the form of a concentrate, powder or lyophilisate, in the form of a transdermal therapeutic system for systemic treatment, in the form of a gastrointestinal therapeutic system (GITS) for systemic treatment, in the form of a salve, suspension, emulsion, a balm or plaster or in the form of an externally applicable solution, in the form of a rectal, genital, or transurethral administration emulsion, a solution, a liposomal solution, an implant, suppository or a capsule, in the form of a composition capable of being applied nasally, otologically or ophthalmologically, or in a buccally applicable form.

24. [Medicament] The pharmaceutical composition according to [one of the] claim[s] 12 [and 14 to 16], [characterized in that] wherein a dosage unit for single administration contains about 0.001 [or 0.01 to 2.0 mg or 0.1, 1, 2, 5, 10, 20, 25, 30, 50, 100, 200, 300, 500, 600, 800, 1000, 2000, 3000, 4000, or] to about 5000 mg active ingredient [according to the claims 1 to 7, 9 and 10].